

CLAIMS

1. A method for identifying a compound that binds to a target, the method comprising:
  - a) forming a first library comprising a multiplicity of peptides;
  - 5 b) selecting from the first library at least one peptide that binds to the target;
  - c) determining the sequence or sequences of the at least one peptide that binds to the target, thereby generating a peptide motif;
  - d) forming a second library comprising a multiplicity of non-peptide compounds designed based on the peptide motif;
  - 10 e) selecting from the second library at least one non-peptide compound that binds to the target; and
  - f) determining the structure or structures of the at least one non-peptide compound that binds to the target;
  - thereby identifying a compound that binds to the target.
2. The method of claim 1, wherein the first library is a phage display library.
3. The method of claim 1, wherein the first library is bound to a solid-support.
- 20 4. The method of claim 1, wherein the first library is an anchor library.
5. The method of claim 1, wherein the first library comprises at least about  $10^6$  peptides.
6. The method of claim 1, wherein the first library comprises at least about  $10^9$  peptides.
- 25 7. The method of claim 1, wherein the first library comprises at least about  $10^{12}$  peptides.
8. The method of claim 1, wherein step c) comprises determining the nucleotide sequence of a nucleic acid molecule or molecules that encode the at least one peptide.
- 30 9. The method of claim 1, wherein step c) comprises determining the amino acid sequence or sequences of the at least one peptide.
- 35 10. The method of claim 1, wherein the second library comprises at least one peptide derivative.
11. The method of claim 1, wherein the second library comprises at least one peptide analogue.

12. The method of claim 1, wherein the second library comprises at least one peptidomimetic.

13. The method of claim 1, wherein the second library comprises at least about  $10^2$  non-peptide compounds.

14. The method of claim 1, wherein the second library comprises at least about  $10^4$  non-peptide compounds.

15. The method of claim 1, wherein the second library comprises at least about  $10^6$  non-peptide compounds.

16. The method of claim 1, wherein step f) comprises analyzing the at least one non-peptide compound by a mass spectrometric method.

17. The method of claim 16, wherein the mass spectrometric method comprises tandem mass spectrometry.

18. The method of claim 1, wherein the compound that binds to a target has a binding affinity for the target of at least about  $10^{-7}$  M.

19. The method of claim 1, wherein the compound that binds to a target has a binding affinity for the target of at least about  $10^{-8}$  M.

20. The method of claim 1, wherein the compound that binds to a target has a binding affinity for the target of at least about  $10^{-9}$  M.

21. The method of claim 1, further comprising:

g) forming a third library comprising a multiplicity of non-peptide compounds designed based on the structure or structures of the non-peptide compound or compounds determined in step f);

h) selecting from the third library at least one non-peptide compound that binds to the target; and

i) determining the structure or structures of the at least one non-peptide compound selected in step h);

thereby identifying a compound that binds to the target.

22. A method for identifying a compound that binds to a target, the method comprising:

- a) forming a first library comprising a multiplicity of peptides displayed on the surface of a bacteriophage;
- b) selecting from the first library at least one peptide that binds to the target;
- c) determining the sequence or sequences of the at least one peptide that binds to the target, thereby generating a peptide motif;
- d) forming a second library comprising a multiplicity of non-peptide compounds designed based on the peptide motif;
- e) selecting from the second library at least one non-peptide compound that binds to the target; and
- f) determining the structure or structures of the at least one non-peptide compound that binds to the target by tandem mass spectrometry;
- thereby identifying a compound that binds to the target.

23. A method for identifying a compound that binds to a target, the method comprising:
- a) forming a first library comprising an anchor library of a multiplicity of peptides;
- b) selecting from the first library at least one peptide that binds to the target;
- c) determining the sequence or sequences of the at least one peptide that binds to the target, thereby generating a peptide motif;
- d) forming a second library comprising a multiplicity of non-peptide compounds designed based on the peptide motif;
- e) selecting from the second library at least one non-peptide compound that binds to the target; and
- f) determining the structure or structures of the at least one non-peptide compound that binds to the target by tandem mass spectrometry;
- thereby identifying a compound that binds to the target.

24. A compound identified by the method of claim 1.

25. The compound of claim 24, which is a peptidomimetic.

26. The compound of claim 24, which binds to the target with a binding affinity of at least about  $10^{-7}$  M.

27. The compound of claim 24, which binds to the target with a binding affinity of at least about  $10^{-8}$  M.

28. The compound of claim 24, which binds to the target with a binding affinity of at least about  $10^{-9}$  M.

29. A library comprising a multiplicity of non-peptide compounds designed based on a peptide motif, wherein the peptide motif is determined by selecting from a peptide library at least one peptide that binds to a target, determining the sequence or sequences of the at least one peptide that binds to the target and determining a peptide motif.

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30. The library of claim 29, wherein library comprises at least one peptidomimetic.

31. The library of claim 29, wherein the library comprises at least about  $10^2$  non-peptide compounds.

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32. The library of claim 29, wherein the library comprises at least about  $10^4$  non-peptide compounds.

33. The library of claim 29, wherein the library comprises at least about  $10^6$  non-peptide compounds.

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34. The library of claim 29, wherein the multiplicity of non-peptide compounds are attached to a solid support.